

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
2 September 2004 (02.09.2004)

PCT

(10) International Publication Number
WO 2004/074303 A3

(51) International Patent Classification⁷: **C07H 3/04**,
15/12, 9/04

(21) International Application Number:
PCT/US2004/004921

(22) International Filing Date: 18 February 2004 (18.02.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/448,839 20 February 2003 (20.02.2003) US

(71) Applicant (for all designated States except US): **EI-SAI CO, LTD.** [JP/JP]; 6-10 Koishikawa 4-Chome, Bunkyo-Ku, Tokyo, 112-8088 (JP).

(72) Inventor; and

(75) Inventor/Applicant (for US only): **FAN, RuLin** [US/US]; 108 Kingston St., North Andover, MA 01845-5025 (US).

(74) Agent: **LAGNEAU, Nadège, M.**; Choate, Hall & Stewart, Exchange Place, 53 State Street, Boston, MA 02109 (US).

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM,

AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

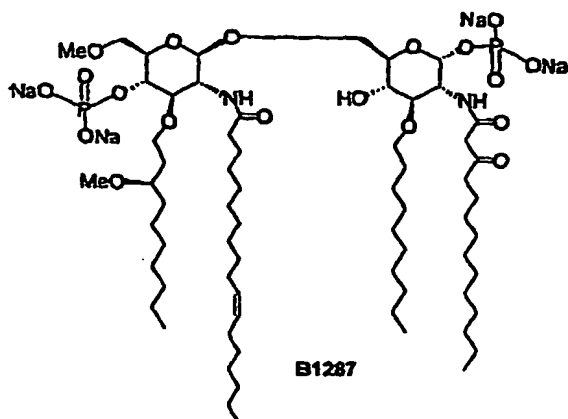
Published:

- with international search report
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments

(88) Date of publication of the international search report:
29 December 2004

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: REAGENTS AND METHODS FOR PREPARING LPS ANTAGONIST B1287 AND STEREOISOMERS THEREOF



(57) Abstract: The present invention provides methods for preparing LPS antagonist lipodisaccharide B1287 and stereoisomers thereof, which compounds are useful as in the prophylactic and affirmative treatment of endotoxemia including sepsis, septicemia and various forms of septic shock. Also provided are synthetic intermediates useful for implementing the inventive methods.

WO 2004/074303 A3

INTERNATIONAL SEARCH REPORT

International Application No
PCT/US2004/004921

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07H3/04 C07H15/12 C07H9/04

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07H

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, CHEM ABS Data, BEILSTEIN Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 96/39411 A (KOBAYASHI SEIICHI ; EISAI CO LTD (JP); KAWATA TSUTOMU (US); CHRIST WIL) 12 December 1996 (1996-12-12) cited in the application page 47	129, 139
A	the whole document	1-124, 130-134

☐ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

* Special categories of cited documents :

- *A* document defining the general state of the art which is not considered to be of particular relevance
- *E* earlier document but published on or after the international filing date
- *L* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- *O* document referring to an oral disclosure, use, exhibition or other means
- *P* document published prior to the international filing date but later than the priority date claimed

- *T* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- *X* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- *Y* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art
- *Z* document member of the same patent family

Date of the actual completion of the international search

9 August 2004

Date of mailing of the international search report

19. 11. 2004

Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2
NL - 2280 HV Rijswijk
Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,
Fax (+31-70) 340-3016

Authorized officer

Fink, D

INTERNATIONAL SEARCH REPORT

International application No.
PCT/US2004/004921

Box II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:
2. ☐ Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)

This International Searching Authority found multiple inventions in this International application, as follows:

see additional sheet

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☒ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:
1-124, 130-134

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. claims: 1-124,130-134

the processes of the present claims 1-119 and the synthetic intermediates of the present claims 120-124 and 130-134;

2. claims: 125-129,135-139

the synthetic intermediates of the present claims 125-129 and 135-139

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/US2004/004921

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 9639411	A	12-12-1996	
		US 5750664 A	12-05-1998
		AT 258185 T	15-02-2004
		AU 707779 B2	22-07-1999
		AU 6380296 A	24-12-1996
		CA 2223140 A1	12-12-1996
		CN 1192216 A ,B	02-09-1998
		DE 69631376 D1	26-02-2004
		DK 853627 T3	01-06-2004
		EP 0853627 A1	22-07-1998
		ES 2214543 T3	16-09-2004
		HU 9802662 A2	28-05-1999
		JP 11506793 T	15-06-1999
		NO 975644 A	04-02-1998
		NZ 312299 A	30-08-1999
		RU 2170738 C2	20-07-2001
		WO 9639411 A1	12-12-1996
		US 2003144503 A1	31-07-2003
		US 2003134805 A1	17-07-2003
		US 6184366 B1	06-02-2001
		US 6417172 B1	09-07-2002
		US 5681824 A	28-10-1997
		US 5935938 A	10-08-1999
		US 2002028927 A1	07-03-2002
		ZA 9604666 A	11-03-1997